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3/19/1 DIALOG(R)File 351:Derwent WPI (c) 2008 The Thomson Corporation. All rts. reserv.

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Related WPI Acc No: 2002-206017; 2003-278314; 2003-342384; 2003-829456

XRAM Acc no: C2002-066334

**Substituted phenyl oxazolidinone derivatives are useful as antimicrobial agents effect against a nun**

Patent Assignee: ARORA S K (AROR-I); DAS B (DASB-I); MEHTA A (MEHT-I); RANBAXY LAB I S (RUDR-I)

Inventor: ARORA S K; DAS B; MEHTA A; METHA A; RATTAN A; RAY A; RUDRA S; SUDERSH/

Patent Family ( 17 patents, 95 & countries )

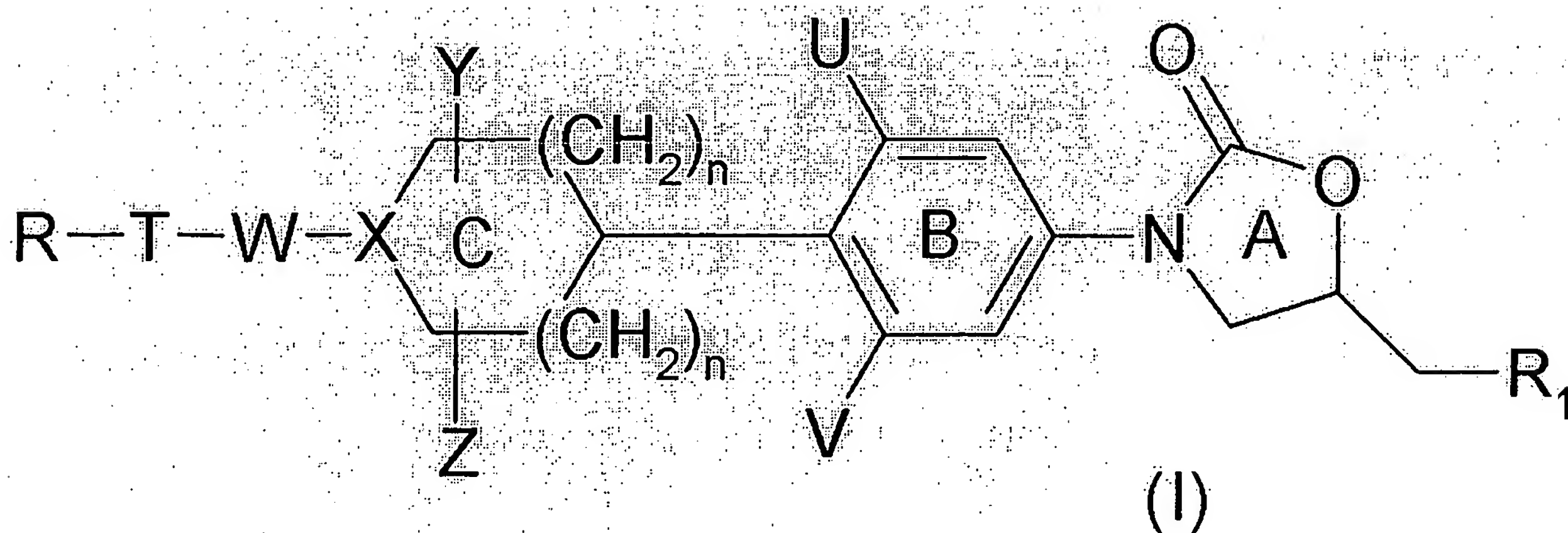
Patent Number	Kind	Date	Application Number	Kind	Date	Update	Type
WO 2002006278	A1	20020124	WO 2001IB1262	A	20010716	200227	B
AU 200169370	A	20020130	AU 200169370	A	20010716	200236	E
US 20020103186	A1	20020801	US 2001906215	A	20010716	200253	E
EP 1303511	A1	20030423	EP 2001947730	A	20010716	200329	E
			WO 2001IB1262	A	20010716		
BR 200112826	A	20030624	BR 200112826	A	20010716	200343	E
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CZ 200300228	A3	20030618	WO 2001IB1262	A	20010716	200347	E
			CZ 2003228	A	20010716		
SK 200300140	A3	20030805	WO 2001IB1262	A	20010716	200360	E
			SK 2003140	A	20010716		
CN 1447808	A	20031008	CN 2001814422	A	20010716	200403	E
HU 200302918	A2	20031229	WO 2001IB1262	A	20010716	200413	E
			HU 20032918	A	20010716		
JP 2004504321	W	20040212	WO 2001IB1262	A	20010716	200413	E
			JP 2002512181	A	20010716		
ZA 200300471	A	20040128	ZA 2003471	A	20030117	200420	E
US 6734307	B2	20040511	US 2001906215	A	20010716	200431	E
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AU 2001269370	B2	20051027	AU 2001269370	A	20010716	200623	E
RU 2292345	C2	20070127	WO 2001IB1262	A	20010716	200752	E

Priority Applications (no., kind, date): IN 2000DE654 A 20000717

			RU 2003103767	A	20010716			
RU 2292345	C9	20070510	WO 2001IB1262	A	20010716	200752	E	Patent Num
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#### Alerting Abstract WO A1

NOVELTY - Substituted phenyl oxazolidinone derivatives (I), their salts, enantiomers, diastereomers, N-  
DESCRIPTION - Substituted phenyl oxazolidinone derivatives of formula (I), their salts, enantiomers, di



&

T= 5-7 membered heterocyclic ring, aryl (optionally substituted), bound to the ring C with a linker w and the heterocyclic and aryl rings are further substituted by R;

R= CN, COR<sub>5</sub>, COOR<sub>5</sub>, N(R<sub>6</sub>, R<sub>7</sub>), CON(R<sub>6</sub>, R<sub>7</sub>), CH<sub>2</sub>NO<sub>2</sub>, NO<sub>2</sub>, CH<sub>2</sub>R<sub>8</sub>, CHR<sub>9</sub>, CH=N-OR<sub>10</sub> or C=CH-R<sub>5</sub>;

R<sub>5</sub>= H, optionally substituted 1-12C alkyl, 3-12C cycloalkyl, aryl or heteroaryl;

R<sub>6</sub>, H, optionally substituted 1-12C alkyl, 3-12C cycloalkyl or 1-6C alkoxy;

R<sub>7</sub>=

R<sub>8</sub>, H, 1-6C alkyl, F, Cl, Br, 1-12C alkyl substituted with one or more F, Cl, Br, I, OR<sub>4</sub>, SR<sub>4</sub> or N

R<sub>9</sub>= (R<sub>6</sub>R<sub>7</sub>);

R<sub>4</sub>= H, 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl substituted with one or more F, Cl, I or OH or N(R<sub>6</sub>R<sub>7</sub>);

R<sub>10</sub>= H, optionally substituted from H, optionally substituted 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl, aryl or heteroaryl;

n= 0-3;

X= CH, CH-S or N;

Y, Z= H, 1-6C alkyl, 3-12C cycloalkyl or 0-3C bridging group;

U, V= 1-6C alkyl, F, Cl, Br, 1-12C alkyl substituted with one or more F, Cl, Br, I (preferably H or F);

W= CH<sub>2</sub>, CO, CH<sub>2</sub>NH, NHCH<sub>2</sub>, CH<sub>2</sub>NHCH<sub>2</sub>, CH<sub>2</sub>-N(R<sub>11</sub>)CH<sub>2</sub>, CH(R<sub>11</sub>), S, CH<sub>2</sub>(CO), NH;

R<sub>11</sub>= 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl, aryl or heteroaryl;

R<sub>1</sub>= NHC(=O)R<sub>2</sub>;

R<sub>2</sub>= H, 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl substituted with one or more F, Cl, Br, I or OH, N(R<sub>3</sub>, R<sub>4</sub>), NR<sub>2</sub>C(=S)R<sub>3</sub> or NR<sub>2</sub>C(=S)SR<sub>3</sub>; and

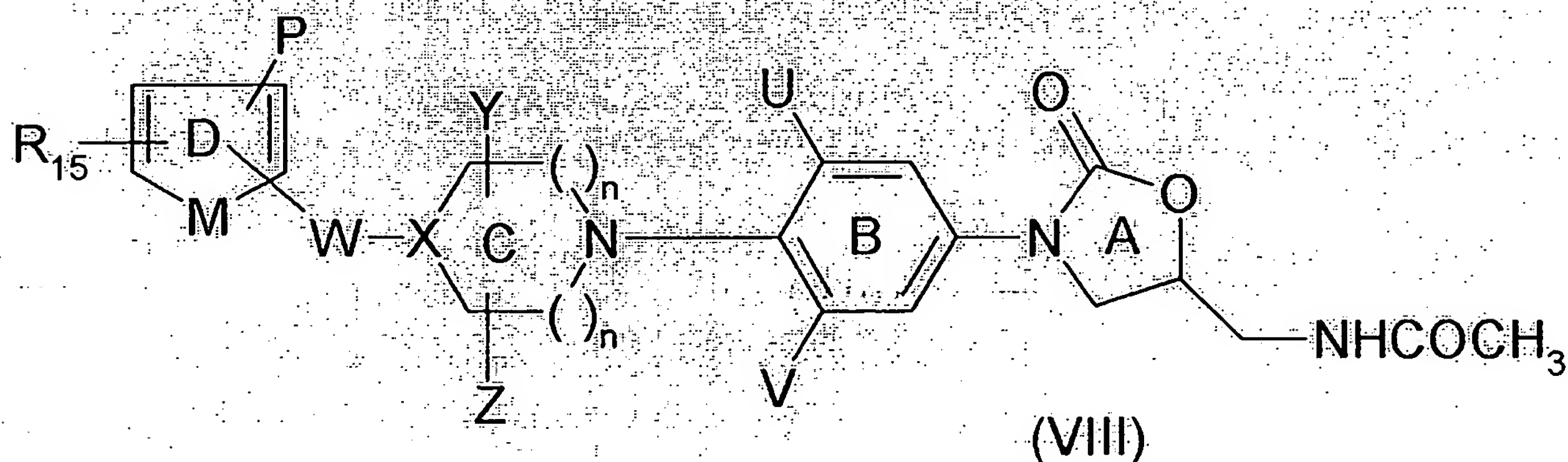
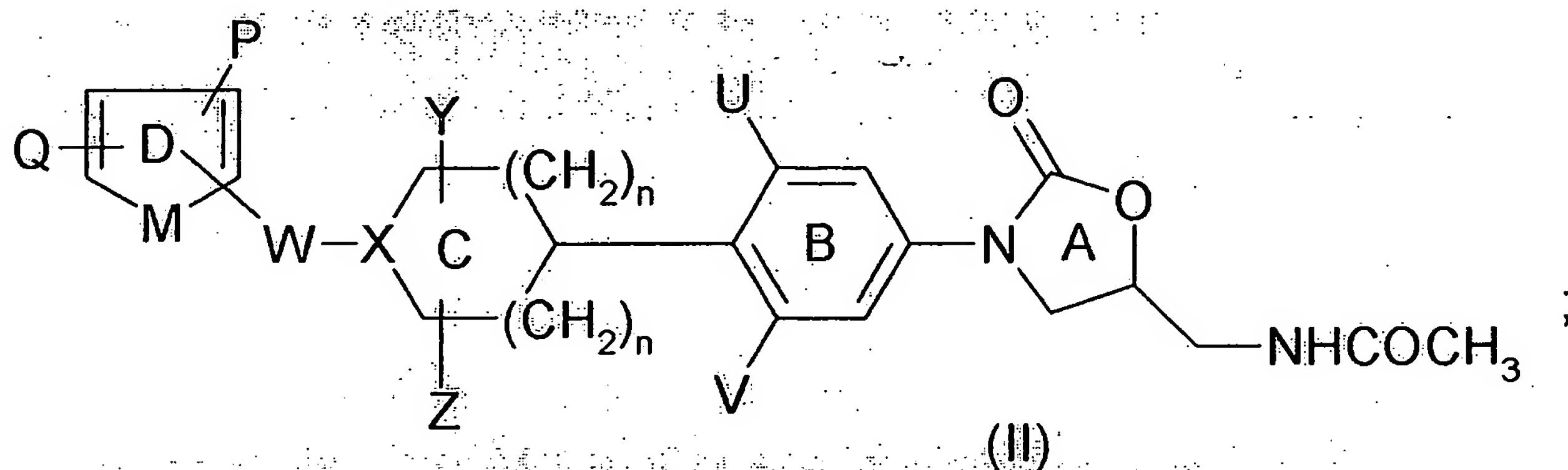
R<sub>3</sub>, H, 1-12C alkyl, 3-12C cycloalkyl, 1-6C alkoxy, 1-6C alkyl substituted with one or more F, Cl, Br, I or OH.

R<sub>4</sub>=

INDEPENDENT CLAIMS are also included for:

1. compounds of formula (II);
2. preparation of (I) by reacting an amine with a heterocyclic compound;
3. preparation of (II);

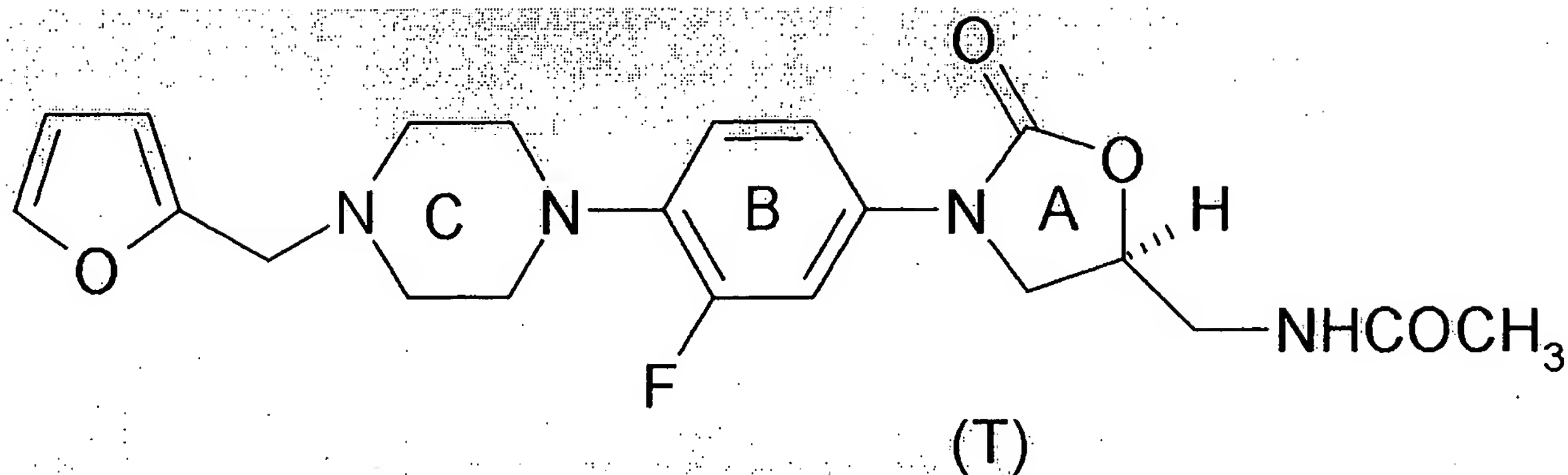
4. preparation of compounds of formula (VIII), by converting a compound of formula (VII) (II; Q = R<sub>14</sub>): R<sub>14</sub> = is any group which can be converted to R<sub>15</sub> in 1-5 steps, R<sub>15</sub> = Q;
5. preparation of compounds of formula (XI) (R<sub>16</sub>-T), by reacting (IX) [(HC=O)-T] with sodium borohydride to give (X) (HOCH<sub>2</sub>-T) and further reacting this with diethylamino sulfur trifluoride to give (XI);
6. preparation of compounds of formula (XII) (R<sub>17</sub>-T) by reacting (S)-N-[[3-fluoro-4-[N-1[4-(2-furyl(5-formyl)methyl)]piperazinyl]phenyl]-2-oxo-5-oxazolidinyl-methyl]acetamide with hydroxylamine (IX);
7. preparation of compounds of formula (XIII); or
8. preparation of compounds of formula (XIV) (R<sub>18</sub>-T), by reacting (IX) with Ag<sub>2</sub>O to produce (S)-N-((3-fluoro-4-(N-1(4-(2-furyl(5-carboxy)methyl))piperazinyl)phenyl)-2-oxo-5-oxazolidinyl-methyl)acetamide (XIII) with hydroxylamine; and
9. a composition comprising (I) or (II).



Q, CN, COR<sub>5</sub>, COOR<sub>5</sub>, N(R<sub>6</sub>R<sub>7</sub>), CON(R<sub>6</sub>R<sub>7</sub>), CON(R<sub>6</sub>, R<sub>7</sub>), CH<sub>2</sub>NO<sub>2</sub>, NO<sub>2</sub>, CH<sub>2</sub>R<sub>8</sub>, CHR<sub>9</sub>,  
P= CH=N, OR<sub>10</sub>, C=CH-R<sub>5</sub>;

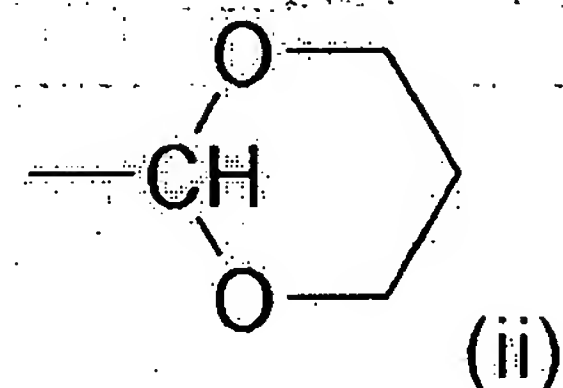
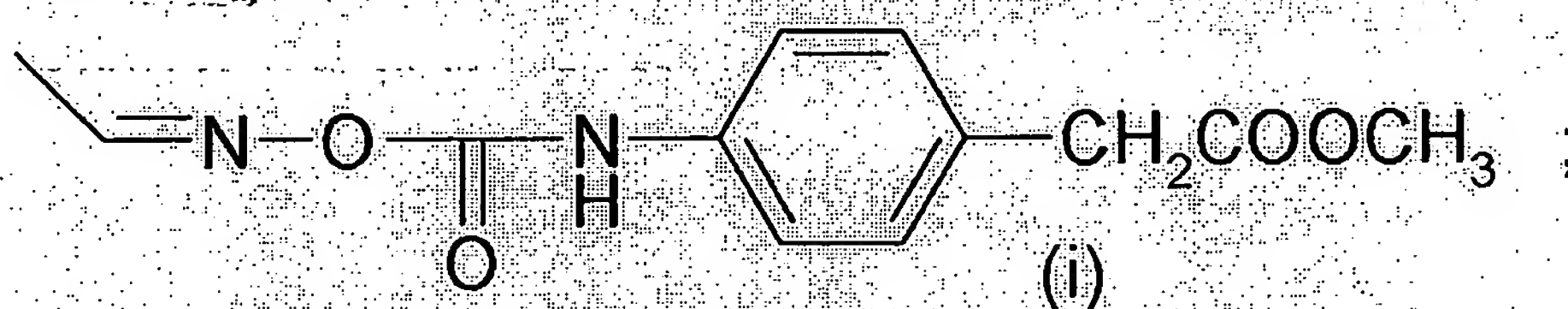
R<sub>10</sub>= H, optionally substituted from H, optionally substituted 1-12C alkyl, 3-512C cycloalkyl, 1-6C alkoxy, 1-6C alkyl, aryl or heteroaryl, except W=(CO), Q and P=H, ring C in formula (II) is 6-8 membered or of larger size and the larger rings have either 2-3C between each N atom;

T=



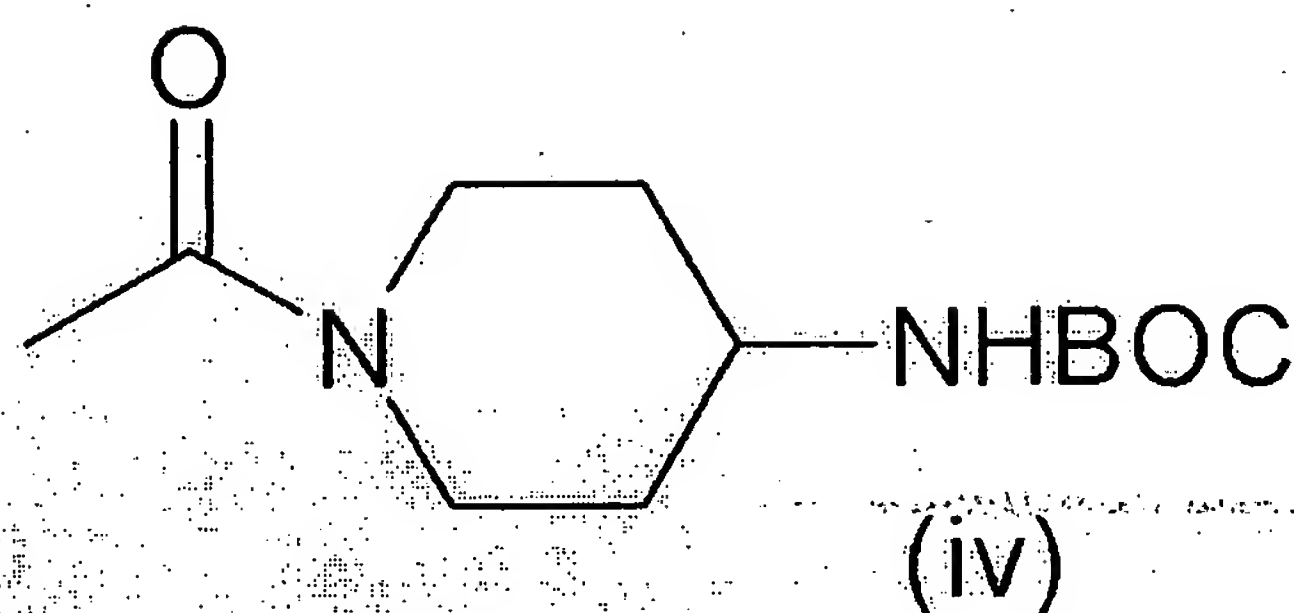
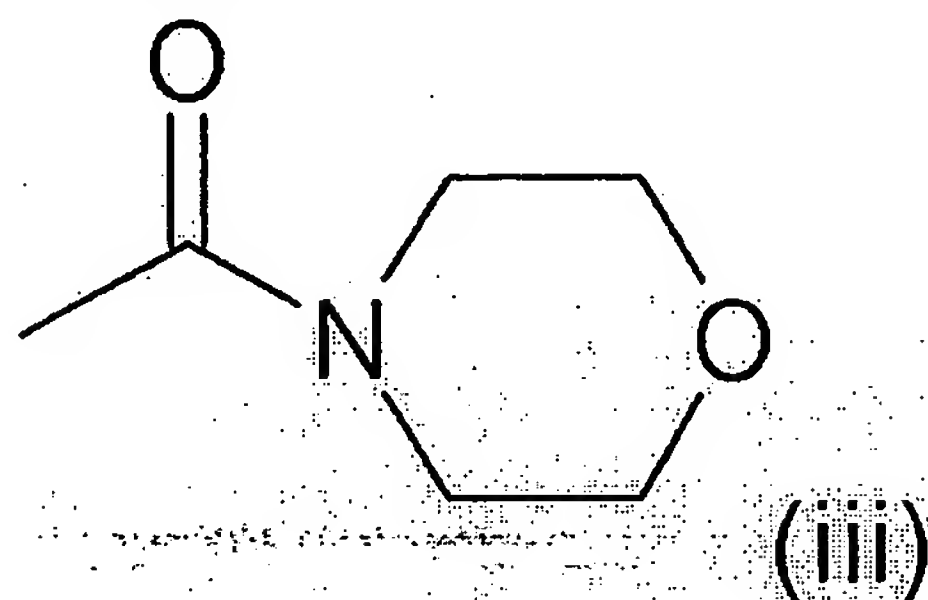
$R_{16} = -CH_2F$  or  $-CH_2F_2$ ;

$R_{17} = CH_3CH=N-NH_2$  or (i) or (ii)



;and

$R_{18} = -(C=O)NH_2$  or (iii) or (iv)



**ACTIVITY** - Antibacterial.

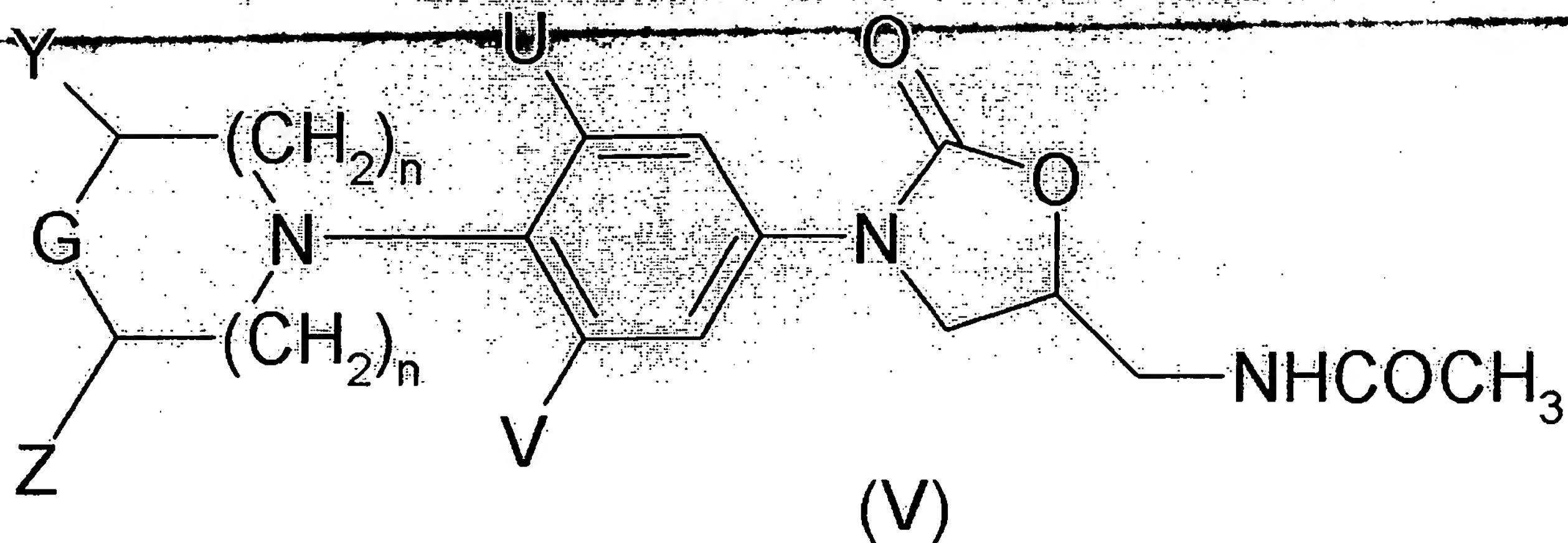
**MECHANISM OF ACTION** - None given.

**USE** - Useful as antimicrobial agents effect against a number of human and veterinary pathogens, including gram positive aerobic bacteria such as multiply-resistant *staphylococci* , *streptococci* or *enterococci* , as well as anaerobic organisms such as *Bacteriodes spp.* and *Clostridia spp.* and acid fast organisms such as *Mycobacterium tuberculosis* , *Mycobacterium avium* or *Mycobacterium spp.*

### Technology Focus

**ORGANIC CHEMISTRY** - Preferred Method: (I) is prepared by reacting an amine of formula (V) with a heterocyclic compound of formula R-T-W- $R_{12}$ :



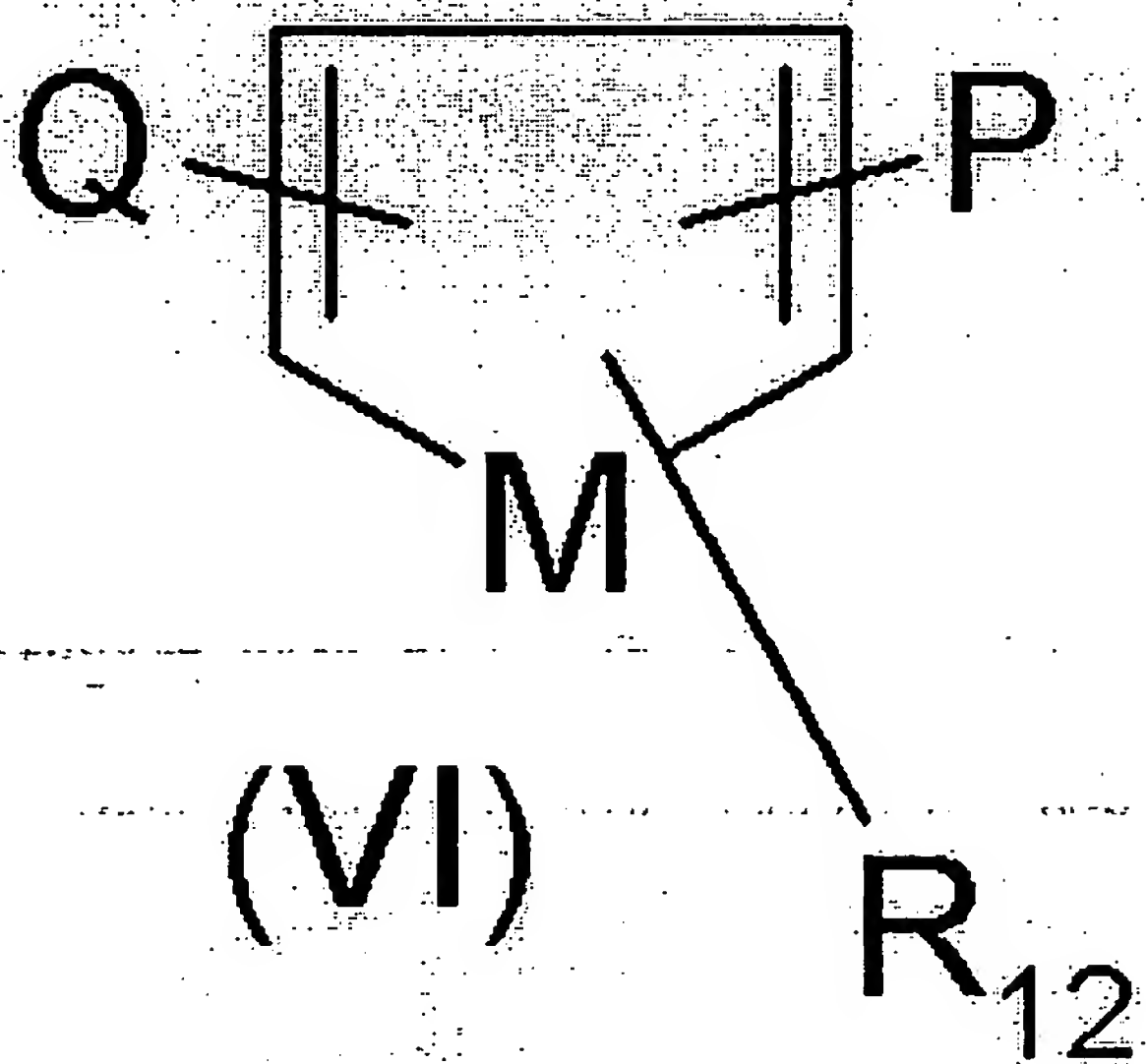


G= NH, CH(NHR<sub>13</sub>), CH-CH<sub>2</sub>NHR<sub>13</sub>;

R<sub>13</sub>= H, ethyl, methyl, isopropyl, acetyl, cyclopropyl, alkoxy or acetyl; and

R<sub>12</sub>= leaving group comprising F, Cl, Br, SCH<sub>3</sub>, SO<sub>2</sub>CH<sub>3</sub>, SO<sub>2</sub>CF<sub>3</sub> or OC<sub>6</sub>H<sub>5</sub>;

(II) is prepared in a suitable solvent selected from dimethylformamide, dimethylacetamide, ethanol or ethylene glycol at -70 to 180(deg)C in the presence of a suitable base selected from triethyl amine, diisopropyl amine, potassium carbonate or sodium bicarbonate, by reacting a compound of formula (V) with a furaldehyde or furoic acid (VI) and reductive alkylation of the amine of (V) is performed with a



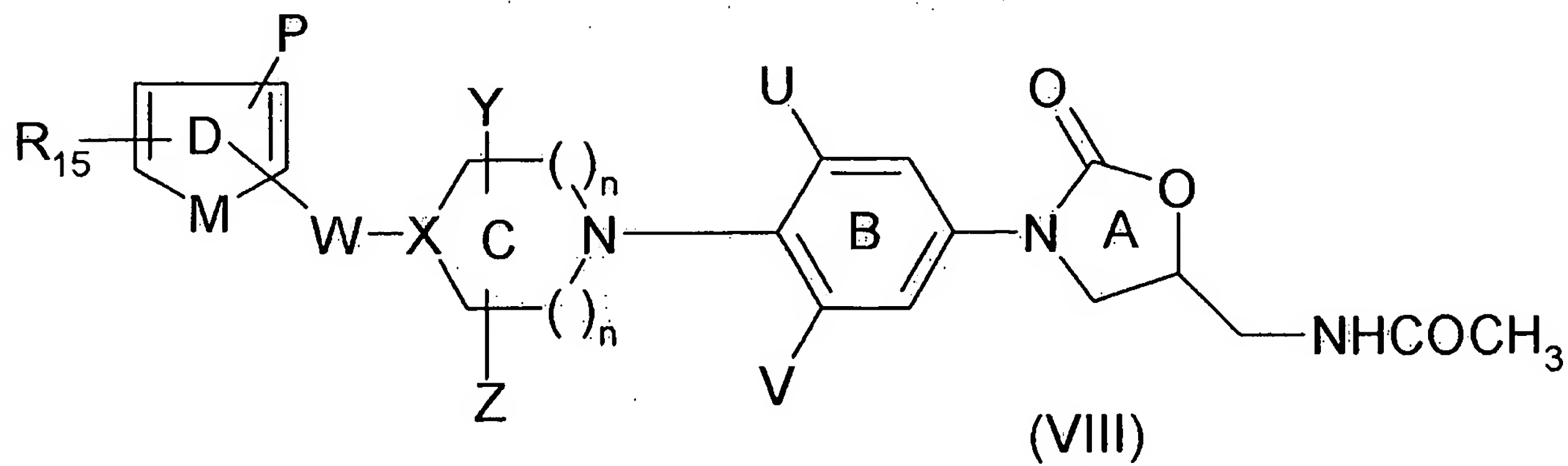
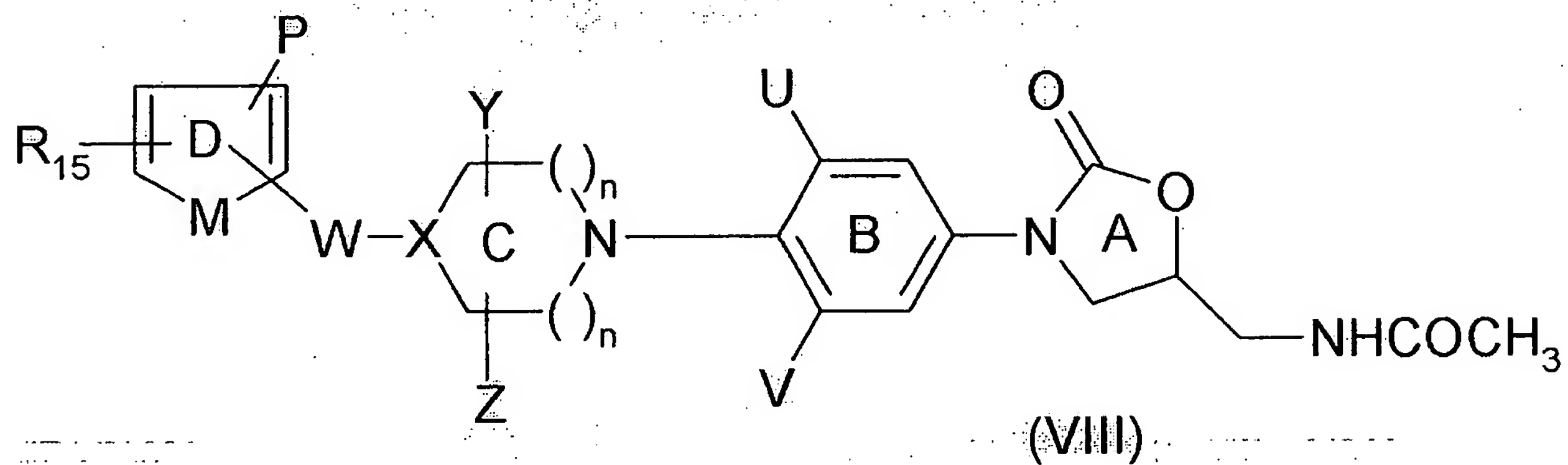
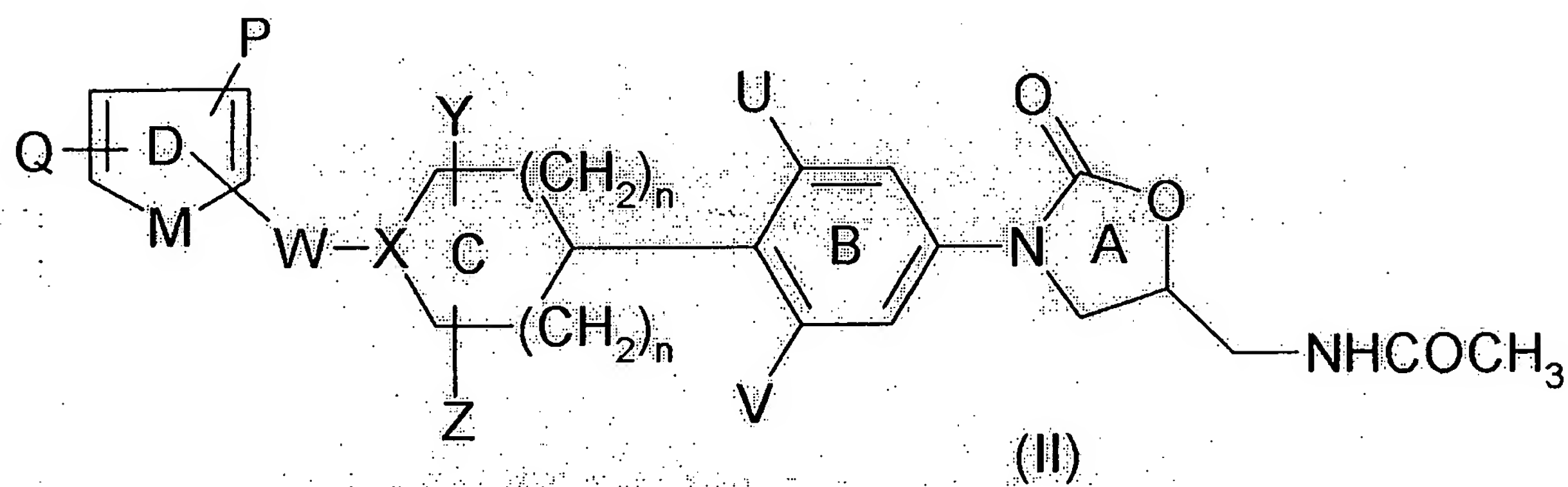
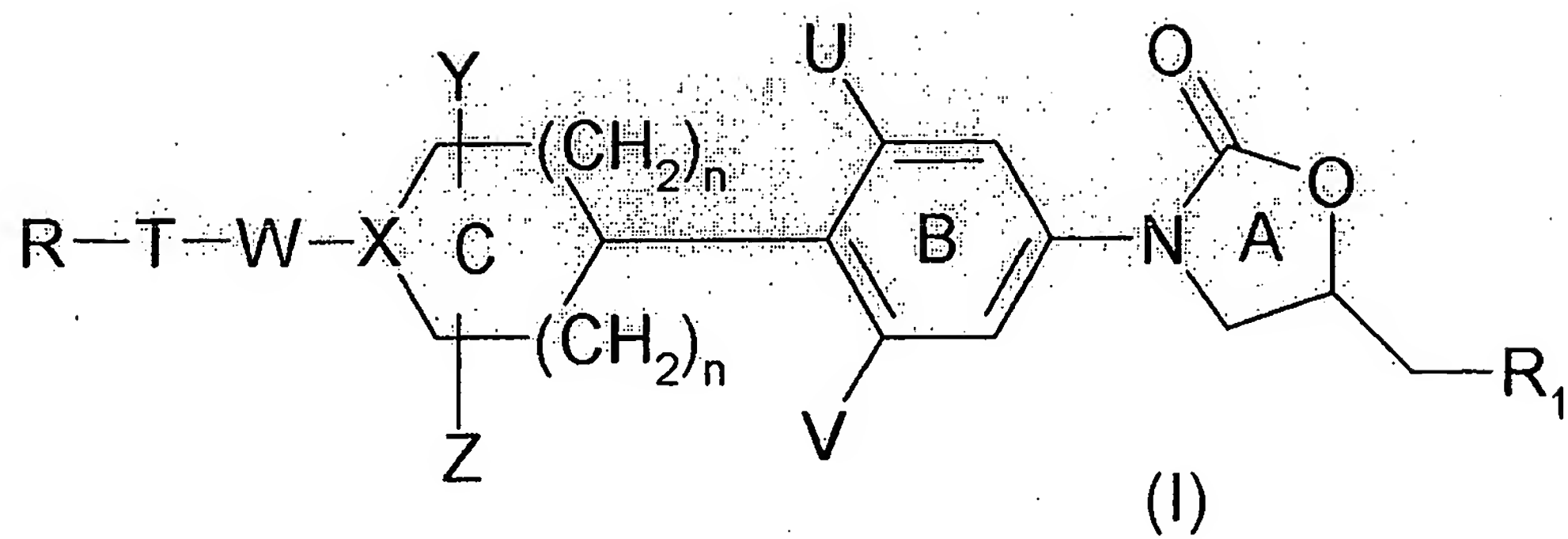
reducing agent:

(VIII) is prepared by converting a compound of formula (VII) (II; Q = R<sub>14</sub>):

R<sub>14</sub>= is any group which can be converted to R<sub>15</sub> in 1-5 steps; and

R<sub>15</sub>= Q.

Main Drawing Sheet(s) or Clipped Structure(s)



**Title Terms /Index Terms/Additional Words:** SUBSTITUTE; PHENYL; OXAZOLIDINONE;  
DERIVATIVE; USEFUL; ANTIMICROBIAL; AGENT; EFFECT; NUMBER; HUMAN;  
VETERINARY ; PATHOGEN

**Class Codes**

## International Patent Classification

IPC	Class Level	Scope	Position	Status	Version Date
C07D-263/20; C07D-413/14			Main		"Version 7"
A61K-031/42; A61K-031/422; A61K-031/4468; A61K-031/496; A61K-031/5377; A61K-031/541; A61P-031/04; A61P-043/00; C07D-413/12			Secondary		"Version 7"
A61K-0031/422	A	I	L	R	20060101
A61K-0031/4468	A	I	L	R	20060101
A61K-0031/496	A	I	L	R	20060101
A61K-0031/5377	A	I	L	R	20060101
A61K-0031/541	A	I	L	R	20060101
A61P-0031/04	A	I	L	R	20060101
A61P-0043/00	A	I	L	R	20060101
C07D-0263/20	A	I	F	R	20060101
C07D-0413/10	A	I		R	20060101
C07D-0413/12	A	I		R	20060101
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C07D-0413/12	A	I	L	B	20060101
C07D-0413/14	A	I	F	B	20060101
A61K-0031/422	C	I	L	R	20060101
A61K-0031/4468	C	I	L	R	20060101
A61K-0031/496	C	I	L	R	20060101
A61K-0031/5375	C	I	L	R	20060101
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C07D-0413/00	C	I	B	20060101
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**Classification, Issued:** 514218, 514253.1, 514254.02, 540575, 544360, 544370, 546209, 514340, 544369

File Segment: CPI

DWPI Class: B03; C02; D22

Manual Codes (CPI/A-N): B06-H; B07-H; B14-A01; C06-H; C07-H; C14-A01; D09-A01C

### Chemical Indexing

Derwent Registry Numbers: 0457-P; 0457-U; 0876-P; 0876-U; 0919-P; 0919-U; 1013-P; 1013-U; 1208-P; 1208-U; 1300-P; 1300-U; 1713-P; 1713-U; 1829-P; 1829-U; 1997-P; 1997-U

#### Chemical Fragment Codes (M2):

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 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JOK-N RA6JOK-P

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RA6JOK-T 516272-N 516272-P 516272-T

\*43\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F553 F610 G015 G100 H1  
H141 H181 H2 H202 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9 L922  
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M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JOL-N  
RA6JOL-P RA6JOL-T 516273-N 516273-P 516273-T

\*44\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
H141 H181 H2 H202 H211 H6 H601 H641 J0 J011 J3 J371 J5 J521 L9 L922  
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\*45\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F553 F610 G015 G100 H1  
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M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JON-N  
RA6JON-P RA6JON-T 516275-N 516275-P 516275-T

\*46\* M905 M904 F011 F012 F013 F014 F015 F019 F421 F553 F610 G015 G100 H1  
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M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JOO-N  
RA6JOO-P RA6JOO-T 516276-N 516276-P 516276-T

\*47\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F553 F610 G015 G100 H1  
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\*48\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 F740 G015 G100  
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\*49\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 F653 G015 G100  
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\*50\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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\*51\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F553 F610 G015 G100 H1  
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\*52\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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M413 M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220  
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\*53\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F553 F610 G015 G100 H1  
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M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
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\*54\* M905 M904 F011 F012 F013 F014 F015 F553 F610 G010 G015 G019 G100 H1  
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M344 M349 M371 M373 M391 M413 M510 M522 M533 M540 M710 M720 N225 N242  
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516433-P 516433-T

\*55\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
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M412 M511 M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220  
Q261 00690 RA6JSS-N RA6JSS-P RA6JSS-T 516437-N 516437-P 516437-T

\*56\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
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M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 00690  
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\*57\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
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M412 M511 M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220  
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\*58\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F211 F610 G015 G100 H1  
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M511 M522 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
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\*59\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
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\*60\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
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J521 L9 L922 M210 M211 M262 M273 M281 M311 M323 M342 M373 M393 M412  
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\*61\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
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516446-P 516446-T

\*62\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F211 F610 G015 G100 H1  
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\*63\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F211 F610 G015 G100 H1  
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\*64\* M905 M904 D012 D021 D690 F012 F013 F015 F019 F111 F610 G015 G100 H1  
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\*65\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
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M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
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\*66\* M905 M904 F011 F012 F013 F014 F015 F433 F610 G015 G016 G100 H1 H141 H2  
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\*67\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
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\*68\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
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\*69\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
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\*70\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
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\*71\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1  
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\*72\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
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\*73\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
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\*74\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F433 F610 G015 G100 H1  
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\*75\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1  
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M510 M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261  
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\*76\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1  
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M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261 RA6JTH-N  
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\*77\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1  
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M523 M531 M540 M710 M720 N225 N242 N261 N311 N315 N333 P220 Q261

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\*78\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F433 F610 G015 G100 H1  
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\*79\* M905 M904 M910 A111 A940 B105 B720 B760 B809 B831 C101 C802 C804 C805  
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\*80\* M905 M904 C009 C216 K0 K1 K122 K199 K3 K352 K399 M210 M212 M273 M282  
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\*81\* M905 M904 M910 C101 C107 C108 C520 C730 C800 C801 C802 C804 C807 M411  
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218-T 218-U 3860-P 3860-U

\*82\* M905 M904 C101 C107 C108 C520 C550 C730 C800 C801 C802 C804 C807 M411  
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97129-P 97129-U

\*83\* M905 M904 K0 L2 L230 M280 M320 M416 M620 M710 M720 N225 N242 N261 N311  
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\*84\* M905 M904 H6 H607 H685 H689 K0 K4 K410 K432 K499 M280 M311 M322 M344  
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\*85\* M905 M904 M910 H1 H103 H181 M210 M212 M273 M283 M320 M416 M620 M710  
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\*86\* M905 M904 M910 H4 H402 H482 H8 M280 M313 M321 M332 M342 M383 M391 M416  
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\*87\* M905 M904 M910 B105 B701 B720 B752 B803 B805 B809 B831 C009 C100 C800  
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\*88\* M905 M904 M910 C500 C730 C800 C801 C802 C804 C806 C807 M411 M710 M720  
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\*89\* M905 M904 M910 F000 F653 M280 M320 M413 M510 M521 M530 M540 M710 M720  
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328-N 328-P 328-T 328-U

\*90\* M905 M904 M910 C017 K0 L5 L512 L560 L599 M280 M320 M416 M620 M710 M720  
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\*91\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F560 F610 G015 G100 H1  
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\*92\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F560 F610 G015 G100 H1  
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\*93\* M905 M904 F011 F012 F013 F014 F015 F019 F211 F560 F610 G015 G100 H1  
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\*94\* M905 M904 F011 F012 F013 F014 F015 F019 F111 F560 F610 G015 G100 H1  
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Ring Index Numbers: (Linked) 00035; 00346; 00347; 00402; 00403; 00414; 00443; 00463; 00469;  
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 00347; 00347; 00347

Specific Compound Numbers: RA6JTT-K; RA6JTT-S; RA6JTU-K; RA6JTU-S; RA6JTV-K; RA6JTV-  
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 R01013-N; R01013-P; R01013-T; R01300-N; R01300-P; R01300-T; R00876-N; R00876-P; R00876-T;  
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Generic (Markush) Compound Numbers: 0058-68604-N; 0058-68604-P; 0058-68604-T; 0058-68605-N;  
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## Key Word Indexing

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**Inventor:** METHA A

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**Language:** PT

**Application:** BR 200112826 A 20010716 (Local application)

WO 2001IB1262 A 20010716 (PCT Application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** C07D-413/14(A) A61K-31/42(B) C07D-413/12(B)

**Current IPC:** A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

## China

**Publication No.** CN 1447808 A (Update 200403 E)

**Publication Date:** 20031008

**Assignee:** RANBAXY LAB LTD; IN (RANB-N)

**Language:** ZH

**Application:** CN 2001814422 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Original IPC:** C07D-413/14(A) A61K-31/42(B) C07D-413/12(B)

**Current IPC:** A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00



(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20  
(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10  
(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14  
(R,I,M,EP,20060101,20051008,A)

## Czech Republic

**Publication No.** CZ 200300228 A3 (Update 200347 E)

**Publication Date:** 20030618

**Assignee:** RANBAXY LAB LTD (RANB-N)

**Inventor:** MEHTA A

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RUDRA S

RATTAN A

**Language:** CS

**Application:** WO 2001IB1262 A 20010716 (PCT Application)

CZ 2003228 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** C07D-413/14(A) A61K-31/381(B) A61K-31/422(B) A61K-31/496(B) A61K-31/551(B)  
A61P-31/04(B) C07D-413/12(B)

**Current IPC:** A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

~~(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04~~

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

## EPO

**Publication No.** EP 1303511 A1 (Update 200329 E)

**Publication Date:** 20030423

**OXAZOLIDON DERIVATEN ALS ANTIMIKROBIELLER**

**OXAZOLIDINONE DERIVATIVES AS ANTIMICROBIALS**

**DERIVES D'OXAZOLIDINONE UTILISES EN TANT QU'ANTIMICROBIENS**

**Assignee:** RANBAXY LABORATORIES, LTD., 19, Nehru Place, New Delhi 110 019, IN (RANB-N)

**Inventor:** MEHTA, Anita, L-19/3, Phase II, DLF Qutab Enclave, Gurgaon 122001, Haryana, IN

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RATTAN, Ashok, B-481, Sarita Vihar, New Delhi 110 044, Maharashtra, IN

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Language: EN

Application: EP 2001947730 A 20010716 (Local application)

WO 2001IB1262 A 20010716 (PCT Application)

Priority: IN 2000DE654 A 20000717

Related Publication: WO 2002006278 A (Based on OPI patent )

Designated States: (Regional Original) AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU  
LV MC MK NL PT RO SE SI TR

Original IPC: C07D-413/14(A) A61K-31/42(B) C07D-413/12(B)

Current IPC: A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

Original Abstract:

The present invention relates to certain substituted phenyl oxazolidinones and to processes for the synthesis of the same. This invention also relates to pharma-ceutical compositions containing the compounds of the present invention as anti-microbials. The compounds are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-positive aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacterioides spp. and Clostridia spp. species, and acid fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp.

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## Hungary

Publication No. HU 200302918 A2 (Update 200413 E)

Publication Date: 20031229

Assignee: RANBAXY LAB LTD (RANB-N)

Inventor: ARORA S K

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RUDRA S

Language: HU

Application: WO 2001IB1262 A 20010716 (PCT Application)

HU 20032918 A 20010716 (Local application)

Priority: IN 2000DE654 A 20000717

Related Publication: WO 2002006278 A (Based on OPI patent )

Original IPC: C07D-413/14(A) A61K-31/42(B) A61P-31/04(B) C07D-413/12(B)

Current IPC: A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541  
(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04  
(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00  
(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20  
(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10  
(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14  
(R,I,M,EP,20060101,20051008,A)

## Japan

**Publication No.** JP 2004504321 W (Update 200413 E)

**Publication Date:** 20040212

**Language:** JA (208 pages)

**Application:** WO 2001IB1262 A 20010716 (PCT Application)

JP 2002512181 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** C07D-263/20(A) A61K-31/422(B) A61K-31/4468(B) A61K-31/496(B) A61K-31/5377

(B) A61K-31/541(B) A61P-31/04(B) A61P-43/00(B) C07D-413/12(B) C07D-413/14(B)

**Current IPC:** C07D-263/20(A) A61K-31/422(B) A61K-31/4468(B) A61K-31/496(B) A61K-31/5377(B)

A61K-31/541(B) A61P-31/04(B) A61P-43/00(B) C07D-413/12(B) C07D-413/14(B)

## Mexico

**Publication No.** MX 2003000503 A1 (Update 200470 E)

**Publication Date:** 20031201

**Assignee:** RANBAXY LAB LTD (RANB-N)

**Inventor:** MEHTA A

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**Language:** ES

**Application:** WO 2001IB1262 A 20010716 (PCT Application)

MX 2003503 A 20030116 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** A61K-31/42(A) C07D-413/12(B) C07D-413/14(B)

**Current IPC:** A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

## New Zealand

**Publication No.** NZ 523700 A (Update 200479 E)

**Publication Date:** 20041126

**Assignee:** RANBAXY LAB LTD (RANB-N)

**Inventor:** MEHTA A

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RUDRA S

RATTAN A

**Language:** EN

**Application:** NZ 523700 A 20010716 (Local application)

WO 2001IB1262 A 20010716 (PCT Application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** C07D-413/14(A) A61K-31/42(B) A61P-31/04(B) C07D-413/12(B)

**Current IPC:** C07D-413/00(R,A,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

## Russia

**Publication No.** RU 2292345 C2 (Update 200752 E)

**Publication Date:** 20070127

**Assignee:** RANBAXY LAB LTD; IN (RANB-N)

**Language:** RU

**Application:** WO 2001IB1262 A 20010716 (PCT Application)

RU 2003103767 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** C07D-263/00(B,I,M,98,20060101,20060926,C,L) C07D-263/20

(B,I,H,RU,20060101,20060926,A,L) C07D-413/00(B,I,M,98,20060101,20060926,C,F) C07D-413/00

(B,I,M,98,20060101,20060926,C,L) C07D-413/12(B,I,H,RU,20060101,20060926,A,L) C07D-413/14

(B,I,H,RU,20060101,20060926,A,F)

**Current IPC:** C07D-263/00(B,I,M,98,20060101,20060926,C,L) C07D-263/20

(B,I,H,RU,20060101,20060926,A,L) C07D-413/00(B,I,M,98,20060101,20060926,C,F) C07D-413/00

(B,I,M,98,20060101,20060926,C,L) C07D-413/12(B,I,H,RU,20060101,20060926,A,L) C07D-413/14

(B,I,H,RU,20060101,20060926,A,F)

**Publication No.** RU 2292345 C9 (Update 200752 E)

**Publication Date:** 20070510

**Assignee:** RANBAXY LAB LTD; IN (RANB-N)

**Language:** RU

**Application:** WO 2001IB1262 A 20010716 (PCT Application)

RU 2003103767 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** C07D-263/00(B,I,M,98,20060101,20060926,C) C07D-263/20



(B,I,H,RU,20060101,20060926,A,L) C07D-413/00(B,I,M,98,20060101,20060926,C) C07D-413/12  
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Current IPC: C07D-263/00(B,I,M,98,20060101,20060926,C) C07D-263/20  
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(B,I,H,RU,20060101,20060926,A,L) C07D-413/14(B,I,H,RU,20060101,20060926,A,F)

## **Slovakia**

**Publication No.** SK 200300140 A3 (Update 200360 E)

**Publication Date:** 20030805

**Assignee:** RANBAXY LAB LTD (RANB-N)

**Inventor:** MEHTA A

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**Language:** SK

**Application:** WO 2001IB1262 A 20010716 (PCT Application)

SK 2003140 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Related Publication:** WO 2002006278 A (Based on OPI patent )

**Original IPC:** C07D-413/14(A) A61K-31/42(B) C07D-413/12(B)

**Current IPC:** A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

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(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

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(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

## **United States**

**Publication No.** US 20020103186 A1 (Update 200253 E)

**Publication Date:** 20020801

**Oxazolidinone derivatives as antimicrobials disease**

**Assignee:** Mehta, Anita, Haryana, IN (MEHT-I)

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Das, Biswajit, New Delhi, IN (DASB-I)

Ray, Abhijit, New Delhi, IN (RAYA-I)

Rudra, Sonali, New Delhi, IN (RUDR-I)

Rattan, Ashok, New Delhi, IN (RATT-I)

**Inventor:** Mehta, Anita, Haryana, IN

Arora, Sudershan K., Haryana, IN

Das, Biswajit, New Delhi, IN

Ray, Abhijit, New Delhi, IN



Rudra, Sonali, New Delhi, IN  
 Rattan, Ashok, New Delhi, IN  
 Agent: JAYADEEP R. DESHMUKH, ESQ., RANBAXY PHARMACEUTICALS INC., Suite 2100,  
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Language: EN

Application: US 2001906215 A 20010716 (Local application)

Priority: IN 2000DE654 A 20000717

Original IPC: A61K-31/551(A) A61K-31/454(B) A61K-31/496(B) C07D-413/02(B) C07D-413/14(B)

Current IPC: A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

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(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

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(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

Original US Class (secondary): 514218 514253.1 514254.02 540575 544360 544370 546209 514340

Original Abstract:

The present invention relates to certain substituted phenyl oxazolidinones and to processes for the synthesis of the same. This invention also relates to pharmaceutical compositions containing the compounds of the present invention as antimicrobials. The compounds are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-positive aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as *Bacterioides* spp. and *Clostridia* spp. species, and acid fast organisms such as *Mycobacterium tuberculosis*, *Mycobacterium avium* and *Mycobacterium* spp.

Claim:

1. 1. A compound having the structure of Formula I[C00029] and its pharmaceutically acceptable salts, enantiomers, diastereomers, N-oxides, prodrugs or metabolites, wherein
  - o T is five to seven membered heterocyclic ring, aryl, substituted aryl, bound to the ring C with a linker w and the heterocyclic and aryl rings are further substituted by a group represented by R,
  - o wherein R is selected from the group consisting of --CN, COR<sub>5</sub>, COOR<sub>5</sub>, N(R<sub>6</sub>, R<sub>7</sub>), CON(R<sub>6</sub>, R<sub>7</sub>), CH<sub>2</sub>NO<sub>2</sub>, NO<sub>2</sub>, CH<sub>2</sub>R<sub>8</sub>, CHR<sub>9</sub>, --CHLN--OR<sub>10</sub>, --CLCH--R<sub>5</sub>, wherein R<sub>5</sub> is selected from the group consisting of H, optionally substituted C<sub>1</sub>-C<sub>12</sub>, alkyl, C<sub>3-12</sub>, cycloalkyl, aryl, heteroaryl; R<sub>6</sub> and R<sub>7</sub> are independently selected from the group consisting of H, optionally substituted C<sub>1-12</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub> alkoxy; R<sub>8</sub> and R<sub>9</sub> are independently selected from the group consisting of H, C<sub>1-6</sub> alkyl, F, Cl, Br, C<sub>1-12</sub> alkyl substituted with one or more of F, Cl, Br, I, OR<sub>4</sub>, SR<sub>4</sub>, N(R<sub>6</sub>, R<sub>7</sub>) wherein R<sub>4</sub> is selected from the group consisting of H, C<sub>1-12</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkyl substituted with one or more F, Cl, Br, I or OH and R<sub>6</sub> and R<sub>7</sub> are the same as defined earlier, R<sub>10</sub> is selected from the group consisting of H, optionally substituted from H, optionally substituted C<sub>1-12</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub>, alkoxy, C<sub>1-6</sub> alkyl, aryl,

- heteroaryl;
- o n is an integer in the range from 0 to 3;
  - o X is CH, CH--S, CH--O and N
  - o Y and Z are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>0-3</sub> bridging group;
  - o U and V are independently selected from the group consisting of optionally substituted C<sub>1-6</sub> alkyl, F, Cl, Br, C<sub>1-12</sub> alkyl substituted with one or more of F, Cl, Br, I, preferably U and V are hydrogen or fluoro;
  - o W is selected from the group consisting of CH<sub>2</sub>, CO, CH<sub>2</sub>NH, --NHCH<sub>2</sub>, --CH<sub>2</sub>NHCH<sub>2</sub>, --CH<sub>2</sub>--N(R<sub>11</sub>)CH<sub>2</sub>--, CH<sub>2</sub>(R<sub>11</sub>)N--, CH(R<sub>11</sub>), S, CH<sub>2</sub>(CO), NH wherein R<sub>11</sub> is optionally substituted with C<sub>1-12</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkyl, aryl, heteroaryl,
  - o R<sub>1</sub> is selected from the group consisting of --NHC(LO)R<sub>2</sub> wherein R<sub>2</sub> is hydrogen, C<sub>1-12</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkyl substituted with one or more of F, Cl, Br, I or OH; N(R<sub>3</sub>, R<sub>4</sub>); --NR<sub>2</sub>C(LS)R<sub>3</sub>; --NR<sub>2</sub>C(LS)SR<sub>3</sub> wherein R<sub>2</sub> is the same as defined above and R<sub>3</sub> and R<sub>4</sub> are independently selected from the group consisting of H, C<sub>1-12</sub> alkyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkyl substituted with one or more of F, Cl, Br, I or OH.

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**Publication Date:** 20040511

**Oxazolidinone derivatives as antimicrobials**

**Assignee:** Ranbaxy Laboratories Limited, New Delhi, IN (RANB-N)

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**Language:** EN

**Application:** US 2001906215 A 20010716 (Local application)

**Priority:** IN 2000DE654 A 20000717

**Original IPC:** C07D-413/14(A)

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(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

Original US Class (secondary): 544369

Original Abstract:

The present invention relates to certain substituted phenyl oxazolidinones and to processes for the synthesis of the same. This invention also relates to pharmaceutical compositions containing the compounds of the present invention as antimicrobials. The compounds are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-positive aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as *Bacterioides* spp. and *Clostridia* spp. species, and acid fast organisms such as *Mycobacterium tuberculosis*, *Mycobacterium avium* and *Mycobacterium* spp.

Claim:

What is claimed is:

1. A process for preparing a compound of Formula XI[C00029](R<sub>16</sub>L-CH<sub>2</sub>F or --CHF<sub>2</sub>) by reacting a compound of Formula IX[C00030] with sodium borohydride to produce a compound of Formula X[C00031] and further reacting this compound with diethylamino sulfurtrifluoride to produce compound of Formula XI.

## WIPO

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Publication Date: 20020124

### OXAZOLIDINONE DERIVATIVES AS ANTIMICROBIALS

### DERIVES D'OXAZOLIDINONE UTILISES EN TANT QU'ANTIMICROBIENS

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Language: EN (126 pages, 0 drawings)

Application: WO 2001IB1262 A 20010716 (Local application)

Priority: IN 2000DE654 A 20000717

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Original IPC: C07D-413/14(A) A61K-31/42(B) C07D-413/12(B)

Current IPC: A61K-31/422(R,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

(R,I,M,EP,20060101,20051008,A)

Original Abstract:

The present invention relates to certain substituted phenyl oxazolidinones and to processes for the synthesis of the same. This invention also relates to pharmaceutical compositions containing the compounds of the present invention as anti-microbials. The compounds are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-positive aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacterioides spp. and Clostridia spp. species, and acid fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp.

L'invention concerne certaines oxazolidinones de phenyle substituees et leur procede de synthese. Cette invention concerne egalement des compositions pharmaceutiques contenant les composés en tant qu'antimicrobiens de l'invention. Les composés sont des agents antimicrobiens utiles, efficaces contre un certain nombre de pathogenes humains et veterinaires, y compris des bacteries aerobies gram-positive tels que les staphylococci, streptococci et enterococci polyresistants, de meme que des organismes anaerobies tels que des especes bacterioides spp. et clostridie spp., et contre des organismes acido-resistants tels que mycobacterium tuberculosis, mycobacterium avium et mycobacterium spp.

## South Africa

Publication No. ZA 200300471 A (Update 200420 E)

Publication Date: 20040128

Assignee: RANBAXY LAB LTD (RANB-N)

Inventor: MEHTA A

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RUDRA S  
RATTAN A

Language: EN (151 pages)

Application: ZA 2003471 A 20030117 (Local application)

Priority: IN 2000DE654 A 20000717

Original IPC: C07D(A) A61K(B)

Current IPC: A61K-31/422(R,A,I,M,JP,20060101,20051220,A,L) A61K-31/422

(R,I,M,JP,20060101,20051220,C,L) A61K-31/4468(R,I,M,JP,20060101,20051220,A,L) A61K-31/4468

(R,I,M,JP,20060101,20051220,C,L) A61K-31/496(R,I,M,JP,20060101,20051220,A,L) A61K-31/496

(R,I,M,JP,20060101,20051220,C,L) A61K-31/5375(R,I,M,JP,20060101,20051220,C,L) A61K-31/5377

(R,I,M,JP,20060101,20051220,A,L) A61K-31/541(R,I,M,JP,20060101,20051220,A,L) A61K-31/541

(R,I,M,JP,20060101,20051220,C,L) A61P-31/00(R,I,M,JP,20060101,20051220,C,L) A61P-31/04

(R,I,M,JP,20060101,20051220,A,L) A61P-43/00(R,I,M,JP,20060101,20051220,A,L) A61P-43/00

(R,I,M,JP,20060101,20051220,C,L) C07D-263/00(R,I,M,JP,20060101,20051220,C,F) C07D-263/20

(R,I,M,JP,20060101,20051220,A,F) C07D-413/00(R,I,M,EP,20060101,20051008,C) C07D-413/10

(R,I,M,EP,20060101,20051008,A) C07D-413/12(R,I,M,EP,20060101,20051008,A) C07D-413/14

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